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L1 STRUCTURE UPLOADED

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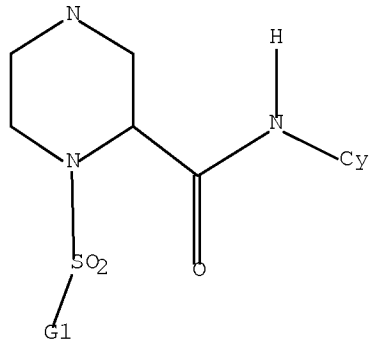

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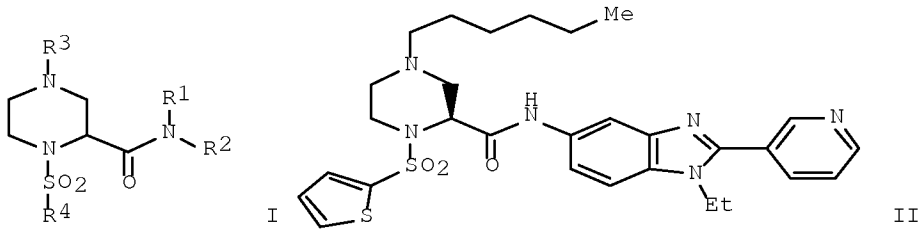
FILE 'HCAPLUS' ENTERED AT 18:19:11 ON 24 FEB 2010
L4 8 S L3
L5 3 S L4 AND (PY<=2002 OR AY<=2002 OR PRY<=2002)

L5 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Preparation of piperazine derivatives for the treatment of mammalian
infertility
GI



AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in

FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

ACCESSION NUMBER: 2004:308436 HCAPLUS Full-text
DOCUMENT NUMBER: 140:339340
TITLE: Preparation of piperazine derivatives for the treatment of mammalian infertility
INVENTOR(S): Magar, Sharad; Goutopoulos, Andreas; Liao, Yihua;
Schwarz, Matthias; Russell, Thomas J.
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031182	A1	20040415	WO 2003-EP50640	20030919 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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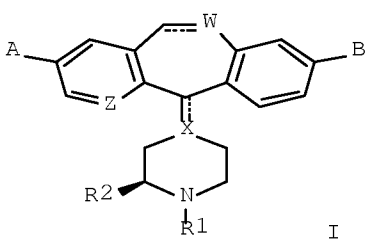
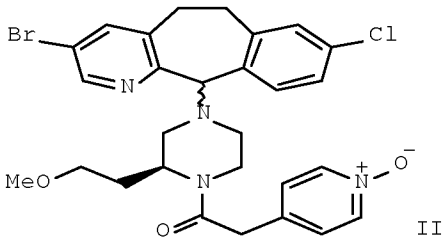
AU 2003299124	A1	20040423	AU 2003-299124	20030919 <--
EP 1542993	A1	20050622	EP 2003-798936	20030919 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503857	T	20060202	JP 2004-540809	20030919 <--
NO 2005001844	A	20050415	NO 2005-1844	20050415 <--
US 20060223813	A1	20061005	US 2006-528437	

20060410 <--
PRIORITY APPLN. INFO.: US 2002-412308P P
20020920 <--
WO 2003-EP50640 W
20030919

L5 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Tricyclic compounds [benzocycloheptapyridinylpiperazines and analogs]
useful for inhibition of g-protein function and for treatment of proliferative diseases
GI



I



II

AB Novel compds. I are disclosed [wherein A, B = H, halo, C1-6 alkyl; Z = N, CH; W = CH, CH2, O, S; X = C, CH, N; R1 = various sidechains, such as COCH(NH2)CH2SH, CH2CH(NH2)CH2SH, COCH(SH)CH2NH2, COCHMeNHCH(CO2H)CH2CH2Ph, etc.; R2 = H, CO2H or derivs., (un)substituted alk(en/yn)yl, etc.]. Also disclosed is a method of inhibiting Ras function, and therefore inhibiting the abnormal growth of cells, using I. For instance, amidation of 4-pyridineacetic acid N-oxide with the corresponding amine using DEC and HOBt gave title compound II, which had IC50 of 0.034 μM for inhibition of farnesyl protein transferase in vitro.

ACCESSION NUMBER: 1998:585371 HCAPLUS Full-text
DOCUMENT NUMBER: 129:216626
ORIGINAL REFERENCE NO.: 129:44043a
TITLE: Tricyclic compounds [benzocycloheptapyridinylpiperazines and analogs]
useful for inhibition of g-protein function and for
treatment of proliferative diseases
INVENTOR(S): Afonso, Adriano; Baldwin, John J.; Doll, Ronald J.;
Li, Ge; Mallams, Alan K.; Njoroge, F. George; Rane,
Dinanath F.; Reader, John C.; Rossman, Randall R.
PATENT ASSIGNEE(S): Schering Corp., USA; Pharmacopeia, Inc.
SOURCE: U.S., 92 pp., Cont.-in-part of 418,323, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5801175	A	19980901	US 1996-713324	19960913 <--
WO 9631478	A1	19961010	WO 1996-US4172	19960403 <--

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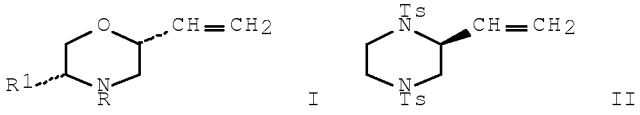
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 6214827	B1	20010410	US 1998-108124	19980623 <--
PRIORITY APPLN. INFO.:			US 1995-418323	B2 19950407 <--
			WO 1996-US4172	A 19960403 <--
			US 1996-713324	A1 19960913 <--

L5 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Catalytic asymmetric construction of morpholines and piperazines by palladium-catalyzed tandem allylic substitution reactions
GI



AB Reaction of 1,4-diacetoxy-cis-2-butene with 2-(benzylamino)ethanol was catalyzed by a palladium complex (5 mol %) coordinated with (R)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl to give optically active (R)-4-benzyl-2-vinylmorpholine (I; R = CH2Ph, R1 = H) of up to 65% enantiomeric excess (ee). Optically active 1,4-bis-(p-tolylsulfonyl)-2-vinylpiperazine (II; Ts = p-tolylsulfonyl) (60% ee) was also obtained from 1,4-dicarbomethoxy-2-butene and 1,2-bis[(p-tolylsulfonyl)amino]ethane in a similar manner. This

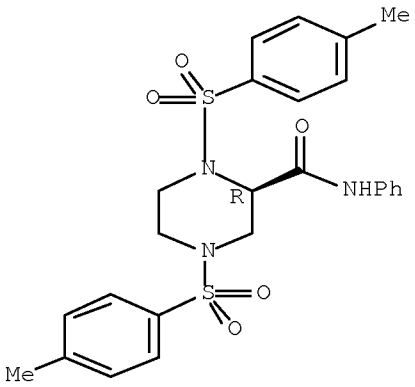
cyclization proceeds through a tandem allylic substitution via π-allylpalladium intermediates. The palladium-catalyzed reaction with 2-amino-1,3-propanediols gave 2-vinyl-5-(hydroxymethyl)morpholines, e.g. I (R = CH2Ph, Ts, R1 = CH2OH) of up to 73% ee.

ACCESSION NUMBER: 1994:134421 HCAPLUS Full-text
DOCUMENT NUMBER: 120:134421
ORIGINAL REFERENCE NO.: 120:23678h,23679a
TITLE: Catalytic asymmetric construction of morpholines and piperazines by palladium-catalyzed tandem allylic substitution reactions
AUTHOR(S): Uozumi, Yasuhiro; Tanahashi, Asako; Hayashi, Tamio
CORPORATE SOURCE: Grad. Sch. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Journal of Organic Chemistry (1993), 58(24), 6826-32
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:134421
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 74572-11-5P 126544-40-9P 152877-84-4P 152877-87-7P 152877-90-2P
152877-92-4P 152877-93-5P 152877-94-6P 152878-00-7P
152878-01-8P 152878-02-9P 152878-03-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

FILE 'REGISTRY' ENTERED AT 18:20:00 ON 24 FEB 2010
E 152877-92-4/RN

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN
RN 152877-92-4 REGISTRY
ED Entered STN: 09 Feb 1994
CN 2-Piperazinecarboxamide, 1,4-bis[(4-methylphenyl)sulfonyl]-N-phenyl-, (R)-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H27 N3 O5 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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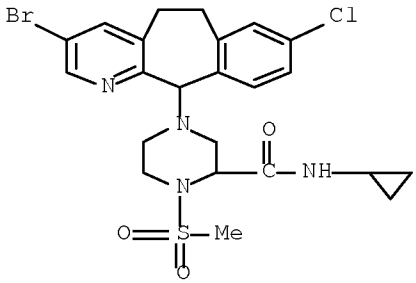
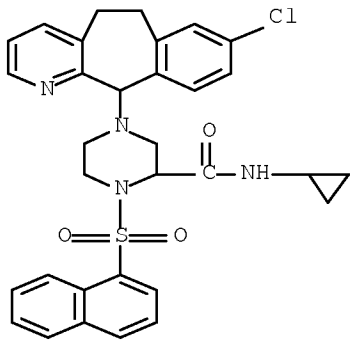
L6 1 S E3
E 212489-15-1/RN

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN
RN 212489-15-1 REGISTRY
ED Entered STN: 11 Oct 1998
CN 2-Piperazinecarboxamide, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N-cyclopropyl-1-(methylsulfonyl)-
(CA INDEX NAME)
MF C23 H26 Br Cl N4 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



L7 1 S E15
E 212494-59-2/RN

L8 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN
RN 212494-59-2 REGISTRY
ED Entered STN: 11 Oct 1998
CN 2-Piperazinecarboxamide, 4-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-N-cyclopropyl-1-(1-naphthalenylsulfonyl)- (CA INDEX NAME)
MF C32 H31 Cl N4 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



L8 1 S E27

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E MAGAR SHARAD?/AU
L9 26 S E37-E38
L10 5 S L9 AND (PHOSPHODIESTERASE OR INFERTILITY)
L11 3 S L10 AND (PY<=2002 OR AY<=2002 OR PRY<=2002)
L12 2 S L11 NOT L5

L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Preparation of carbazoles, isoquinolines, indoles, and related compounds
as follicle stimulating hormone mimetics for the treatment of infertility.
AB R5ZYR4XR3WNR1R2 [R1, R3, R4, R5 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, thioalkyl, acyl, acyloxy, aryl, cycloalkyl, heterocyclyl; R2 = H, (substituted) cycloalkyl, heterocyclyl, aryl, heteroaryl; NR1R2 = (substituted) heterocyclyl, heteroaryl; W = CO, NHCO, NHCOCH2, C:NH, CS, SO2, (substituted) CH2; X, Y = CH, N; Z = CO, NH, C:N, SO2, CONH], were prepared Thus, 1-[(2-oxo-6-pentyl-2H-pyran)-3-carbonyl]pyrrolidine-2-carboxylic acid 3-(9-ethylcarbazolyl)amide (prepared from BOC-Pro-OH, 3-amino-9-ethylcarbazole, and 2-oxo-6-pentyl-2H-pyran-3-carboxylic acid) stimulated estradiol production in the rat granulosa cell assay with EC50 = 1.4 μM.
ACCESSION NUMBER: 2000:117043 HCAPLUS Full-text
DOCUMENT NUMBER: 132:151680
TITLE: Preparation of carbazoles, isoquinolines, indoles, and related compounds as follicle stimulating hormone mimetics for the treatment of infertility.
INVENTOR(S): El Tayer, Nabil; Reddy, Adulla; Buckler, David; Magar, Sharad
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N. V., Neth. Antilles
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008015	A2	20000217	WO 1999-US17755	19990805 <--
WO 2000008015	A3	20000511		
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AU 9953931	A	20000228	AU 1999-53931	19990805 <--
AU 772373	B2	20040422		
US 6235755	B1	20010522	US 1999-369222	19990805 <--
EP 1102763	A2	20010530	EP 1999-939686	19990805 <--
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EP 1380582	A1	20040114	EP 2003-23514	19990805 <--
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PT 1102763	E	20050131	PT 1999-939686	19990805 <--
ES 2228084	T3	20050401	ES 1999-939686	19990805 <--
IL 141063	A	20050619	IL 1999-141063	19990805 <--
AT 329911	T	20060715	AT 2003-23514	19990805 <--
ES 2261844	T3	20061116	ES 2003-23514	19990805 <--

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L17          26 S E61-E62
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L19           4 S L18 AND (PY<=2002 OR AY<=2002 OR PRY<=2002)
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L22          34 S E73-E74
L23           0 S L22 AND (PHOSPHODIESTERASE? OR INFERTILITY)
L24           1 S E76
                E THOMAS RUSSELL?/AU
L25           0 S EE85-E86,E88
L26          47 S E85-E86,E88
L27           0 S L26 AND (PHOSPHODIESTERASE? OR INFERTILITY)
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